(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 12 September 2003 (12.09.2003)

PCT

(10) International Publication Number WO 2003/073986 A3

- (51) International Patent Classification⁷: C07D 271/10, .285/12, 257/06, 261/06, A61K 31/42, 31/45
- (21) International Application Number:

PCT/US2003/005947

- (22) International Filing Date: 27 February 2003 (27.02.2003)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/360,663

1 March 2002 (01.03.2002) US

- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BUDHU, Richard, J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). DOHERTY, George, A. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HALE, Jeffrey, J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). LYNCH, Christopher, L. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). MILLS, Sander, G. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). NEWAY, William, E., III [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

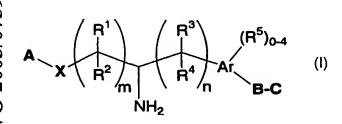
- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 27 May 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: AMINOALKYLPHOSPHONATES AND RELATED COMPOUNDS AS EDG RECEPTOR AGONISTS



(57) Abstract: The present invention encompasses compounds of Formula (I): as well as the pharmaceutically acceptable salts and hydrates thereof. The compounds are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compositions and methods of use are included.